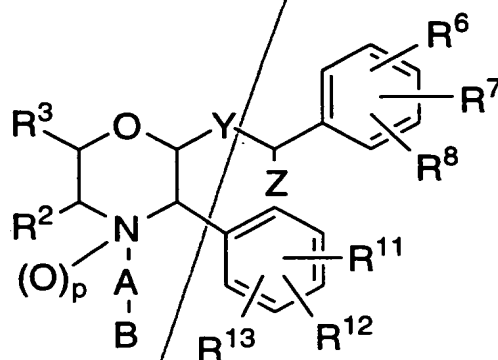


WHAT IS CLAIMED IS:

*add  
a'*

X. A compound of structural formula:



5 or a pharmaceutically acceptable salt thereof, wherein:

R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of:

- (1) hydrogen,
- (2) C<sub>1</sub>-6 alkyl, unsubstituted or substituted with one or more of the substituents selected from:
  - (a) hydroxy,
  - (b) oxo,
  - (c) C<sub>1</sub>-6 alkoxy,
  - (d) phenyl-C<sub>1</sub>-3 alkoxy,
  - (e) phenyl,
  - (f) -CN,
  - (g) halo,
  - (h) -NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are independently selected from:
    - (i) hydrogen,
    - (ii) C<sub>1</sub>-6 alkyl,
    - (iii) hydroxy-C<sub>1</sub>-6 alkyl, and
    - (iv) phenyl,
  - (i) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (j) -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as

*245*

- defined above,
- (k) -CONR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (l) -COR<sup>9</sup>, wherein R<sup>9</sup> is as defined above, and
- 5 (m) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;
- (3) C<sub>2</sub>-6 alkenyl, unsubstituted or substituted with one or more of the substituent(s) selected from:
- (a) hydroxy,
- 10 (b) oxo,
- (c) C<sub>1</sub>-6 alkoxy,
- (d) phenyl-C<sub>1</sub>-3 alkoxy,
- (e) phenyl,
- (f) -CN,
- 15 (g) halo,
- (h) -CONR<sup>9</sup>R<sup>10</sup> wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (i) -COR<sup>9</sup> wherein R<sup>9</sup> is as defined above,
- (j) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;
- 20 (4) C<sub>2</sub>-6 alkynyl;
- (5) phenyl, unsubstituted or substituted with one or more of the substituent(s) selected from:
- (a) hydroxy,
- (b) C<sub>1</sub>-6 alkoxy,
- 25 (c) C<sub>1</sub>-6 alkyl,
- (d) C<sub>2</sub>-5 alkenyl,
- (e) halo,
- (f) -CN,
- (g) -NO<sub>2</sub>,
- 30 (h) -CF<sub>3</sub>,
- (i) -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>9</sup>R<sup>10</sup>, wherein m, R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (j) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,

- 5
- (k)  $\text{-NR}^9\text{CO}_2\text{R}^{10}$ , wherein  $\text{R}^9$  and  $\text{R}^{10}$  are as defined above,
  - (l)  $\text{-CONR}^9\text{R}^{10}$ , wherein  $\text{R}^9$  and  $\text{R}^{10}$  are as defined above,
  - (m)  $\text{-CO}_2\text{NR}^9\text{R}^{10}$ , wherein  $\text{R}^9$  and  $\text{R}^{10}$  are as defined above,
  - (n)  $\text{-COR}^9$ , wherein  $\text{R}^9$  is as defined above;
  - (o)  $\text{-CO}_2\text{R}^9$ , wherein  $\text{R}^9$  is as defined above;

10 and, alternatively, the groups  $\text{R}^2$  and  $\text{R}^3$  are joined together to form a carbocyclic ring selected from the group consisting of:

- (a) cyclopentyl,
- (b) cyclohexyl,
- (c) phenyl,

15 and wherein the carbocyclic ring is unsubstituted or substituted with one or more substituents selected from:

- (i) C<sub>1</sub>-6alkyl,
  - (ii) C<sub>1</sub>-6alkoxy,
  - (iii)  $\text{-NR}^9\text{R}^{10}$ , wherein  $\text{R}^9$  and  $\text{R}^{10}$  are as defined above,
  - (iv) halo, and
  - (v) trifluoromethyl;
- 20

25 and, alternatively, the groups  $\text{R}^2$  and  $\text{R}^3$  are joined together to form a heterocyclic ring selected from the group consisting of:

- (a) pyrrolidinyl,
  - (b) piperidinyl,
  - (c) pyrrolyl,
  - (d) pyridinyl,
  - (e) imidazolyl,
  - (f) furanyl,
  - (g) oxazolyl,
  - (h) thienyl, and
  - (i) thiazolyl,
- 30

and wherein the heterocyclic ring is unsubstituted or substituted with one or more substituent(s) selected from:

- (i) C<sub>1-6</sub>alkyl,
- (ii) oxo,
- (iii) C<sub>1-6</sub>alkoxy,
- (iv) -NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (v) halo, and
- (vi) trifluoromethyl;

R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of:

- (1) hydrogen;
- (2) C<sub>1-6</sub> alkyl, unsubstituted or substituted with one or more of the substituents selected from:

- (a) hydroxy,
- (b) oxo,
- (c) C<sub>1-6</sub>alkoxy,
- (d) phenyl-C<sub>1-3</sub>alkoxy,
- (e) phenyl,
- (f) -CN,
- (g) halo,
- (h) -NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (i) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (j) -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (k) -CONR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (l) -COR<sup>9</sup>, wherein R<sup>9</sup> is as defined above, and
- (m) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;

- 5
- (3) C2-6 alkenyl, unsubstituted or substituted with one or more of the substituent(s) selected from:
- (a) hydroxy,
  - (b) oxo,
  - (c) C1-6 alkoxy,
  - (d) phenyl-C1-3 alkoxy,
  - (e) phenyl,
  - (f) -CN,
  - (g) halo,
  - 10 (h) -CONR<sup>9</sup>R<sup>10</sup> wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (i) -COR<sup>9</sup> wherein R<sup>9</sup> is as defined above,
  - (j) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;
- 15 (4) C2-6 alkynyl;
- (5) phenyl, unsubstituted or substituted with one or more of the substituent(s) selected from:
- (a) hydroxy,
  - (b) C1-6 alkoxy,
  - (c) C1-6 alkyl,
  - 20 (d) C2-5 alkenyl,
  - (e) halo,
  - (f) -CN,
  - (g) -NO<sub>2</sub>,
  - (h) -CF<sub>3</sub>,
  - 25 (i) -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>9</sup>R<sup>10</sup>, wherein m, R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (j) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (k) -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - 30 (l) -CONR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (m) -CO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,

- (n) -COR<sup>9</sup>, wherein R<sup>9</sup> is as defined above;  
(o) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;
- (6) halo,  
(7) -CN,  
5 (8) -CF<sub>3</sub>,  
(9) -NO<sub>2</sub>,  
(10) -SR<sup>14</sup>, wherein R<sup>14</sup> is hydrogen or C<sub>1</sub>-5alkyl,  
(11) -SOR<sup>14</sup>, wherein R<sup>14</sup> is as defined above,  
(12) -SO<sub>2</sub>R<sup>14</sup>, wherein R<sup>14</sup> is as defined above,  
10 (13) NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,  
(14) CONR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,  
(15) NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,  
(16) NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,  
15 (17) hydroxy,  
(18) C<sub>1</sub>-6alkoxy,  
(19) COR<sup>9</sup>, wherein R<sup>9</sup> is as defined above,  
(20) CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above,  
(21) 2-pyridyl,  
20 (22) 3-pyridyl,  
(23) 4-pyridyl,  
(24) 5-tetrazolyl,  
(25) 2-oxazolyl, and  
(26) 2-thiazolyl;

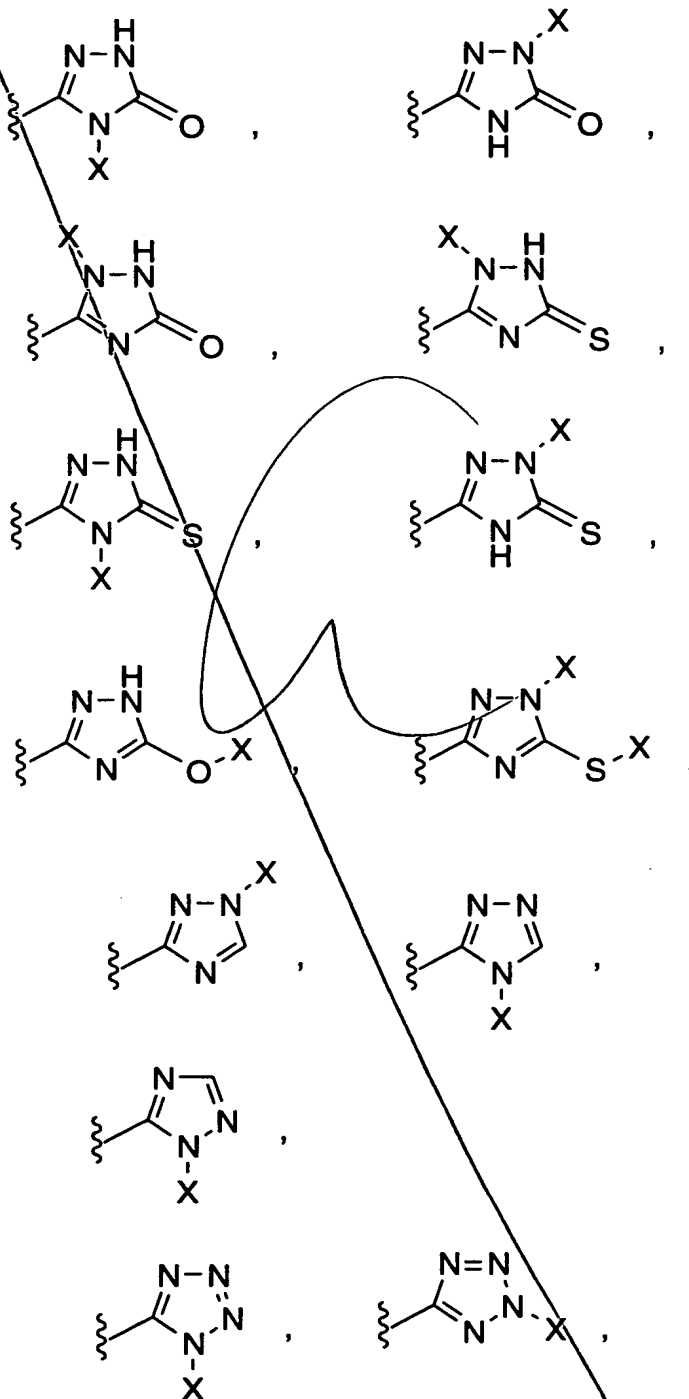
25 R<sup>11</sup>, R<sup>12</sup> and R<sup>13</sup> are independently selected from the definitions of R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup>, or -OX;

A is selected from the group consisting of:

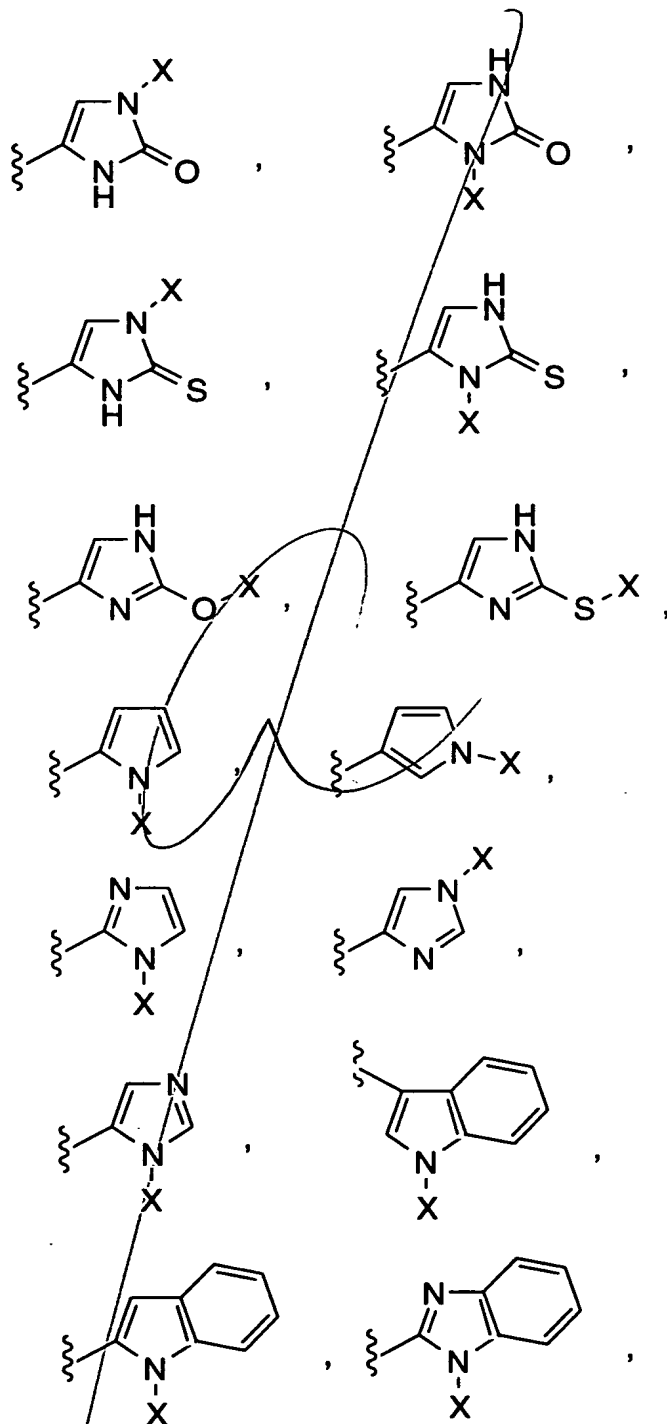
- 30 (1) C<sub>1</sub>-6 alkyl, unsubstituted or substituted with one or more of the substituents selected from:
- (a) hydroxy,  
(b) oxo,  
(c) C<sub>1</sub>-6 alkoxy,  
(d) phenyl-C<sub>1</sub>-3 alkoxy,

- 5
- (e) phenyl,
  - (f) -CN,
  - (g) halo, wherein halo is fluoro, chloro, bromo or iodo,
  - (h) -NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (i) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (j) -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (k) -CONR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (l) -COR<sup>9</sup>, wherein R<sup>9</sup> is as defined above, and
  - (m) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;
- 10
- (2) C<sub>2</sub>-6 alkenyl, unsubstituted or substituted with one or more of the substituent(s) selected from:
- 15
- (a) hydroxy,
  - (b) oxo,
  - (c) C<sub>1</sub>-6 alkoxy,
  - (d) phenyl-C<sub>1</sub>-3 alkoxy,
  - (e) phenyl,
  - (f) -CN,
  - (g) halo,
  - (h) -CONR<sup>9</sup>R<sup>10</sup> wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
  - (i) -COR<sup>9</sup> wherein R<sup>9</sup> is as defined above, and
  - (j) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above; and
- 20
- 25
- (3) C<sub>2</sub>-6 alkynyl;

B is a heterocycle, wherein the heterocycle is selected from the group consisting of:







and wherein the heterocycle is substituted in addition to -X  
with one or more substituent(s) selected from:

- (i) hydrogen;

- (ii) C<sub>1-6</sub> alkyl, unsubstituted or substituted with halo, -CF<sub>3</sub>, -OCH<sub>3</sub>, or phenyl,
- (iii) C<sub>1-6</sub> alkoxy,
- (iv) oxo,
- (v) hydroxy,
- (vi) thioxo,
- (vii) -SR<sup>9</sup>, wherein R<sup>9</sup> is as defined above,
- (viii) halo,
- (ix) cyano,
- (x) phenyl,
- (xi) trifluoromethyl,
- (xii) -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>9</sup>R<sup>10</sup>, wherein m is 0, 1 or 2, and R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (xiii) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (xiv) -CONR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (xv) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above, and
- (xvi) -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>9</sup>, wherein m and R<sup>9</sup> are as defined above;

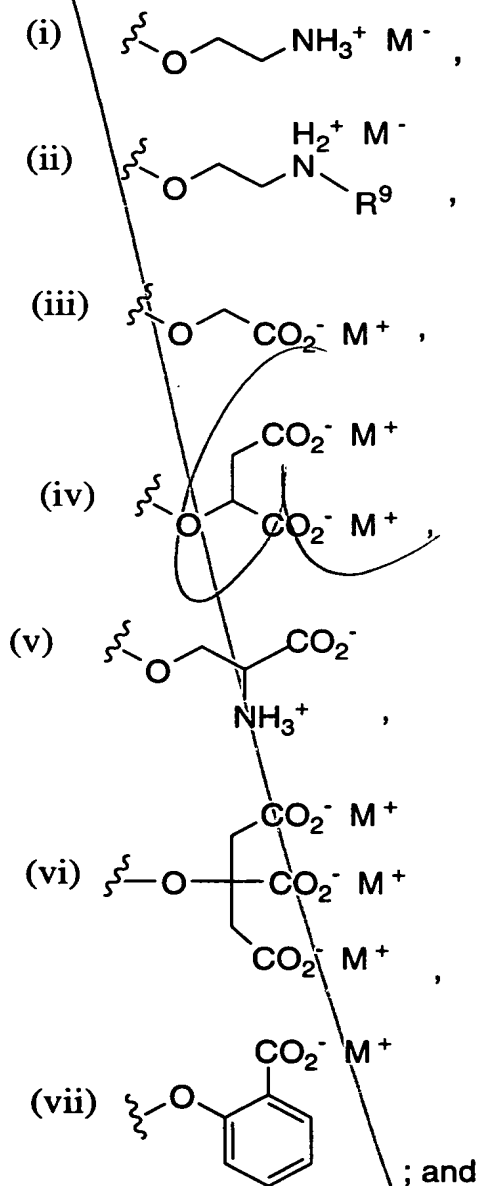
p is 0 or 1;

X is selected from:

- (a) -PO(OH)O<sup>-</sup> • M<sup>+</sup>, wherein M<sup>+</sup> is a pharmaceutically acceptable monovalent counterion,
- (b) -PO(O<sup>-</sup>)<sub>2</sub> • 2M<sup>+</sup>,
- (c) -PO(O<sup>-</sup>)<sub>2</sub> • D<sup>2+</sup>, wherein D<sup>2+</sup> is a pharmaceutically acceptable divalent counterion,
- (d) -CH(R<sup>4</sup>)-PO(OH)O<sup>-</sup> • M<sup>+</sup>, wherein R<sup>4</sup> is hydrogen or C<sub>1-3</sub> alkyl,
- (e) -CH(R<sup>4</sup>)-PO(O<sup>-</sup>)<sub>2</sub> • 2M<sup>+</sup>,
- (f) -CH(R<sup>4</sup>)-PO(O<sup>-</sup>)<sub>2</sub> • D<sup>2+</sup>,

5

- (g)  $-\text{SO}_3^- \cdot \text{M}^+$ ,  
 (h)  $-\text{CH}(\text{R}^4)-\text{SO}_3^- \cdot \text{M}^+$ ,  
 (i)  $-\text{CO}-\text{CH}_2\text{CH}_2-\text{CO}_2^- \cdot \text{M}^+$ ,  
 (j)  $-\text{CH}(\text{CH}_3)-\text{O}-\text{CO}-\text{R}^5$ , wherein  $\text{R}^5$  is selected from the group consisting of:



- (k) hydrogen, with the proviso that if p is 0 and none of  $\text{R}^{11}$ ,  $\text{R}^{12}$  or  $\text{R}^{13}$  are  $-\text{OX}$ , then X is other than hydrogen;

Y is selected from the group consisting of:

- (1) a single bond,
- (2) -O-,
- 5 (3) -S-,
- (4) -CO-,
- (5) -CH<sub>2</sub>-,
- (6) -CHR<sup>15</sup>-, and
- 10 (7) -CR<sup>15</sup>R<sup>16</sup>-, wherein R<sup>15</sup> and R<sup>16</sup> are independently selected from the group consisting of:
  - (a) C<sub>1-6</sub> alkyl, unsubstituted or substituted with one or more of the substituents selected from:
    - (i) hydroxy,
    - (ii) oxo,
    - 15 (iii) C<sub>1-6</sub> alkoxy,
    - (iv) phenyl-C<sub>1-3</sub> alkoxy,
    - (v) phenyl,
    - (vi) -CN,
    - (vii) halo,
    - 20 (viii) -NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
    - (ix) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
    - (x) -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
    - 25 (xi) -CONR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
    - (xii) -COR<sup>9</sup>, wherein R<sup>9</sup> is as defined above, and
    - (xiii) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;

(b) phenyl, unsubstituted or substituted with one or more of the substituent(s) selected from:

- (i) hydroxy,
- (ii) C1-6 alkoxy,
- (iii) C1-6 alkyl,
- (iv) C2-5 alkenyl,
- (v) halo,
- (vi) -CN,
- (vii) -NO<sub>2</sub>,
- (viii) -CF<sub>3</sub>,
- (ix) -(CH<sub>2</sub>)<sub>m</sub>NR<sup>9</sup>R<sup>10</sup>, wherein m, R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (x) -NR<sup>9</sup>COR<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (xi) -NR<sup>9</sup>CO<sub>2</sub>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (xii) -CONR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (xiii) -CO<sub>2</sub>NR<sup>9</sup>R<sup>10</sup>, wherein R<sup>9</sup> and R<sup>10</sup> are as defined above,
- (xiv) -COR<sup>9</sup>, wherein R<sup>9</sup> is as defined above, and
- (xv) -CO<sub>2</sub>R<sup>9</sup>, wherein R<sup>9</sup> is as defined above;

Z is selected from:

- (1) hydrogen,
- (2) C1-6 alkyl, and
- (3) hydroxy, with the proviso that if Y is -O-, Z is other than hydroxy, or if Y is -CHR<sup>15</sup>-, then Z and R<sup>15</sup> are optionally joined together to form a double bond.

2. The compound of Claim 1 wherein:

R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of:

- 5
- (1) hydrogen,
  - (2) C<sub>1-6</sub> alkyl,
  - (3) C<sub>2-6</sub> alkenyl, and
  - (4) phenyl;

R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of:

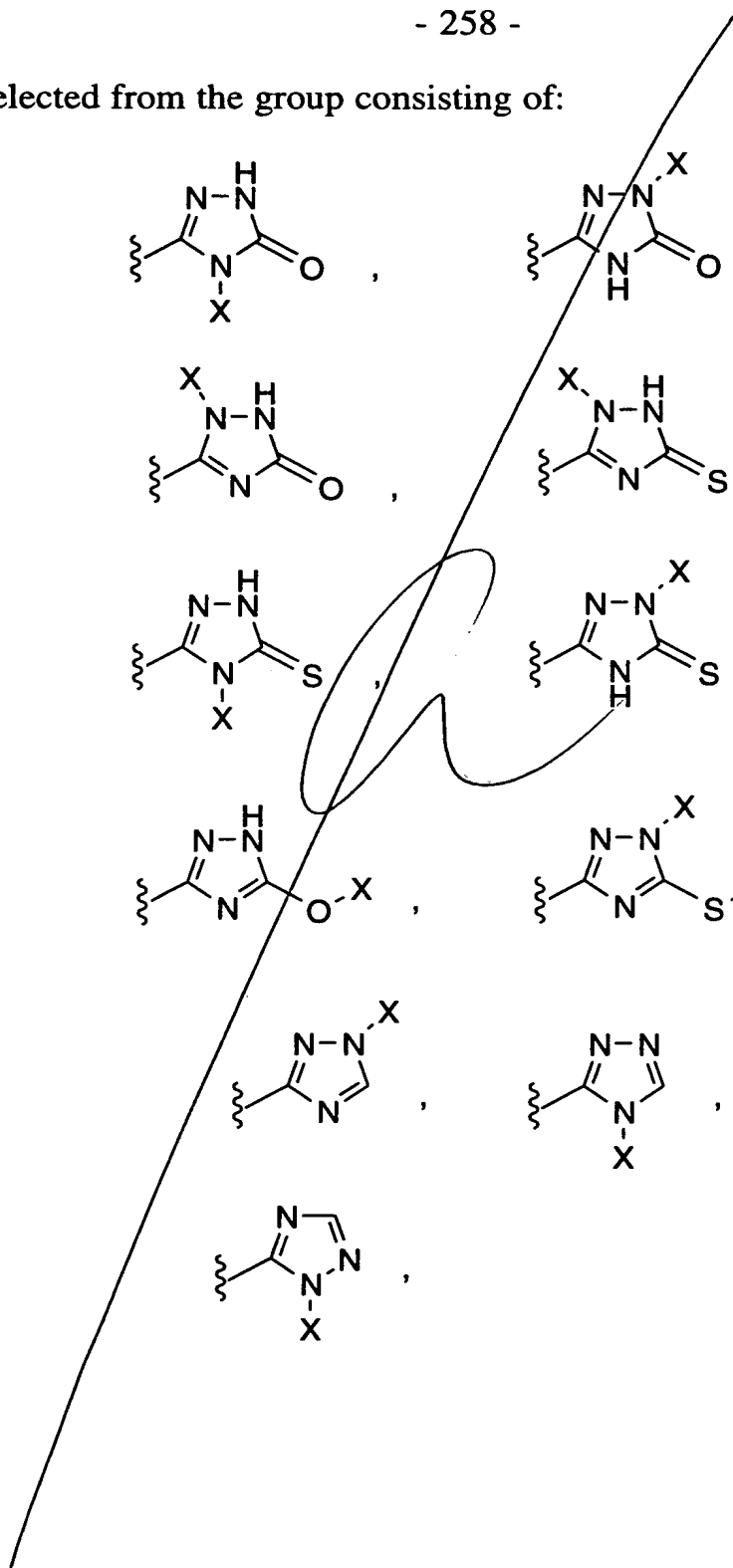
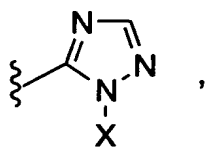
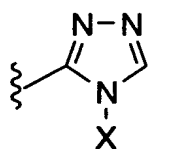
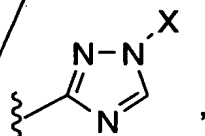
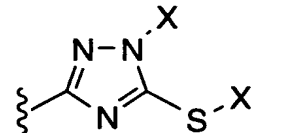
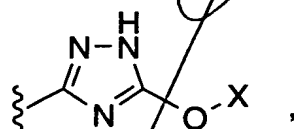
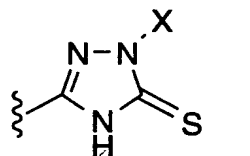
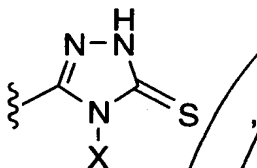
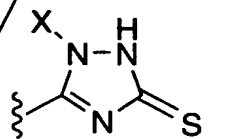
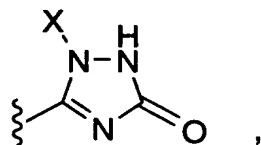
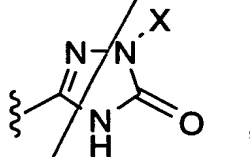
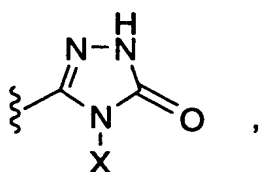
- 10
- (1) hydrogen,
  - (2) C<sub>1-6</sub> alkyl,
  - (3) fluoro,
  - (4) chloro,
  - (5) bromo,
  - 15 (6) iodo, and
  - (7) -CF<sub>3</sub>;

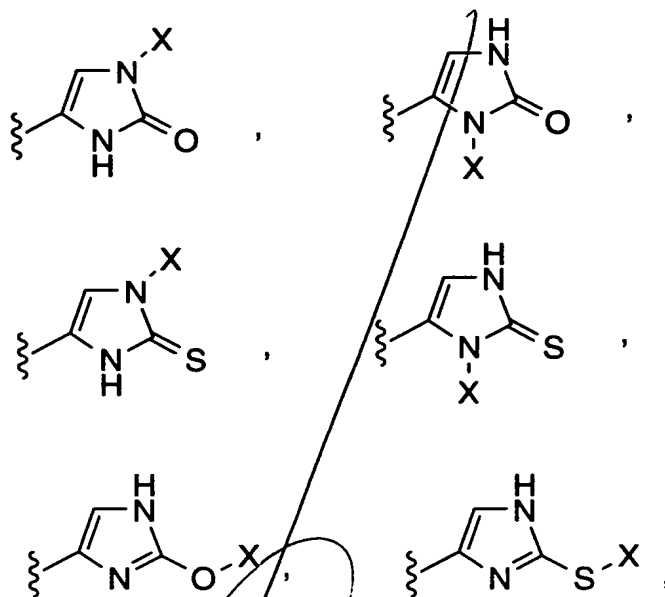
R<sup>11</sup>, R<sup>12</sup> and R<sup>13</sup> are independently selected from the group consisting of:

- 20
- (1) fluoro,
  - (2) chloro,
  - (3) bromo, and
  - (4) iodo;

25 A is unsubstituted C<sub>1-6</sub> alkyl;

B is selected from the group consisting of:





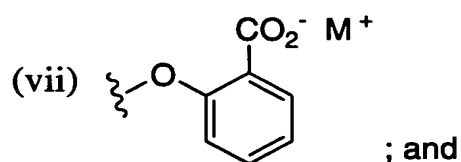
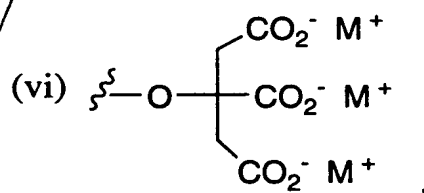
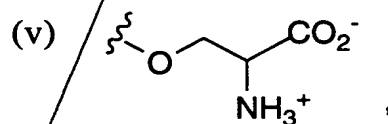
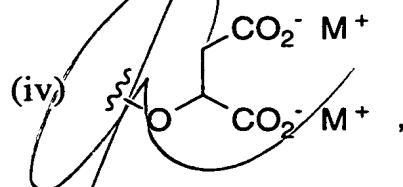
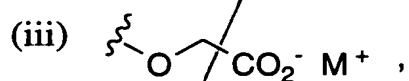
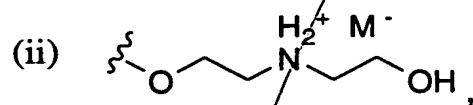
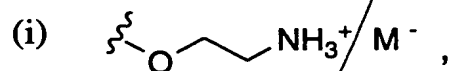
p is 0;

X is selected from:

- 5 (a)  $-\text{PO}(\text{OH})\text{O}^- \cdot \text{M}^+$ , wherein  $\text{M}^+$  is a pharmaceutically acceptable monovalent counterion,
- (b)  $-\text{PO}(\text{O}^-)_2 \cdot 2\text{M}^+$ ,
- (c)  $-\text{PO}(\text{O}^-)_2 \cdot \text{D}^{2+}$ , wherein  $\text{D}^{2+}$  is a pharmaceutically acceptable divalent counterion,
- 10 (d)  $-\text{CH}(\text{R}^4)-\text{PO}(\text{OH})\text{O}^- \cdot \text{M}^+$ , wherein  $\text{R}^4$  is hydrogen or methyl,
- (e)  $-\text{CH}(\text{R}^4)-\text{PO}(\text{O}^-)_2 \cdot 2\text{M}^+$ , wherein  $\text{R}^4$  is hydrogen or methyl,
- (f)  $-\text{CH}(\text{R}^4)-\text{PO}(\text{O}^-)_2 \cdot \text{D}^{2+}$ , wherein  $\text{R}^4$  is hydrogen or methyl,
- 15 (i)  $-\text{CO}-\text{CH}_2\text{CH}_2-\text{CO}_2^- \cdot \text{M}^+$ ,



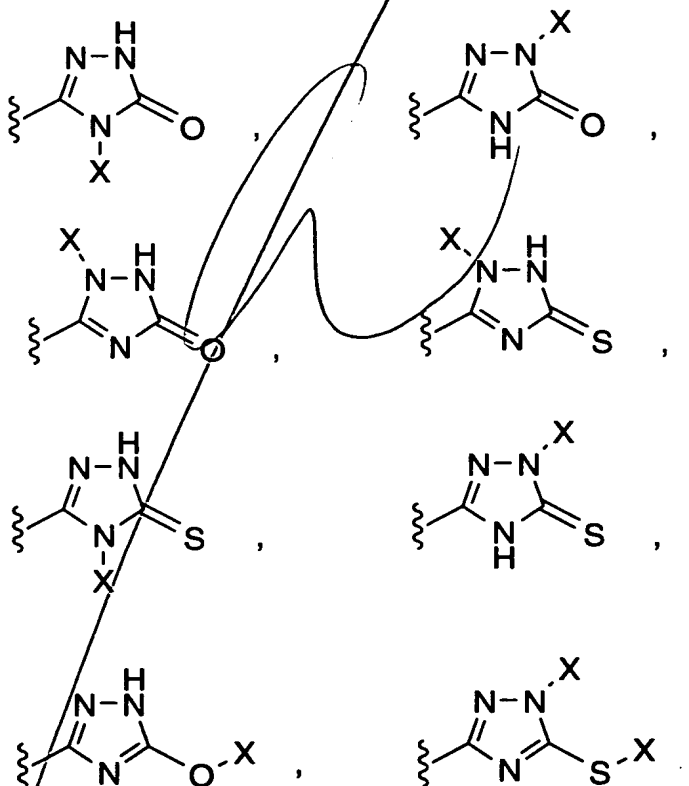
(j)  $-\text{CH}(\text{CH}_3)-\text{O}-\text{CO}-\text{R}^5$ , wherein  $\text{R}^5$  is selected from the group consisting of:

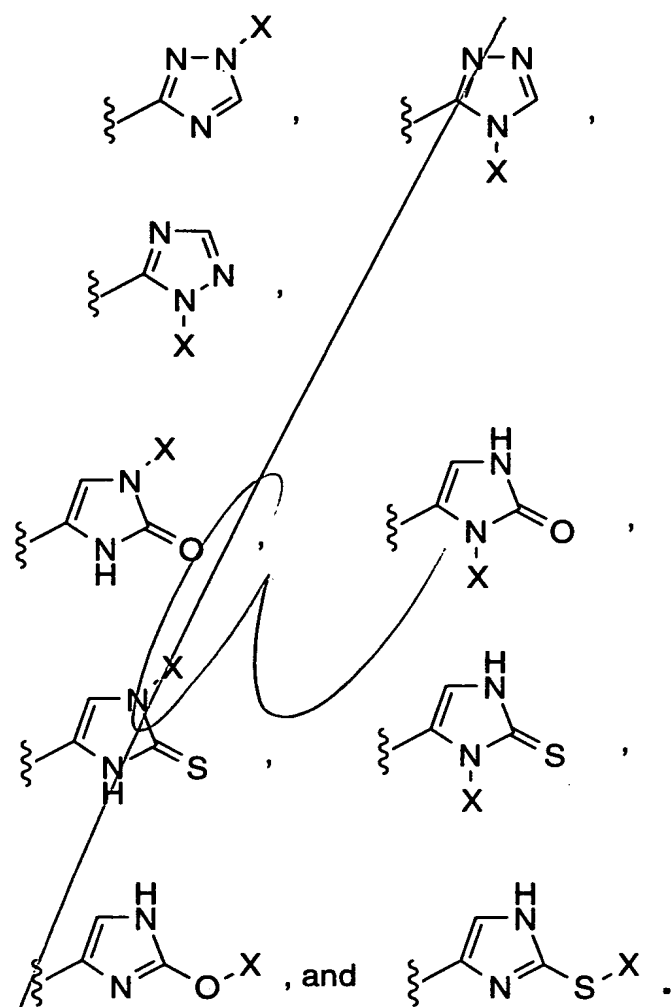


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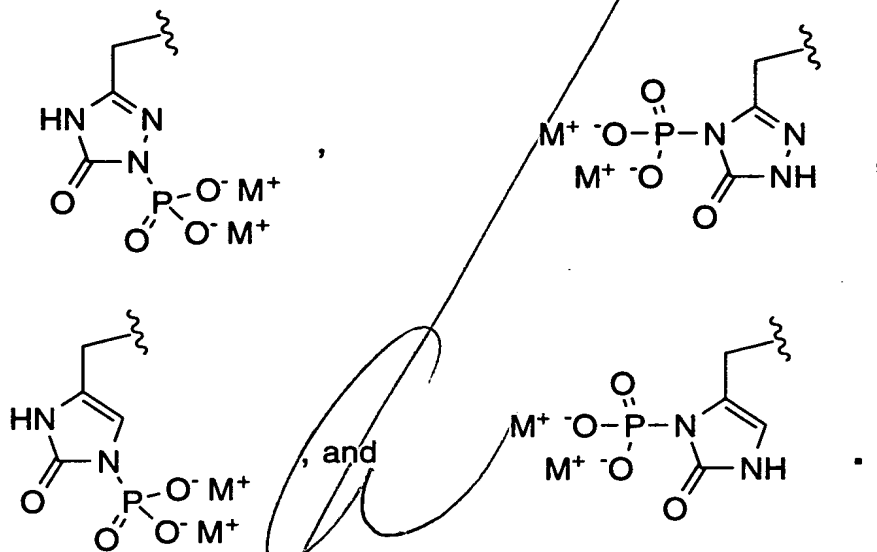
Y is -O-;  
Z is hydrogen or C<sub>1</sub>-4 alkyl.

3. The compound of Claim 1 wherein Z is C<sub>1-4</sub> alkyl.
4. The compound of Claim 1 wherein Z is -CH<sub>3</sub>.
5. The compound of Claim 1 wherein A is -CH<sub>2</sub>- or -CH(CH<sub>3</sub>)-.  
5
6. The compound of Claim 1 wherein -B is selected from the group consisting of:





7. The compound of Claim 1 wherein -A-B is selected from the group consisting of:

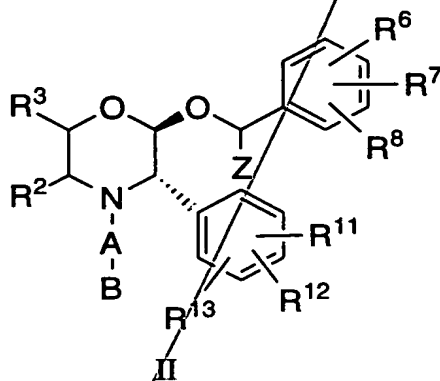


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8. The compound of Claim 1 wherein X is selected from the group consisting of:

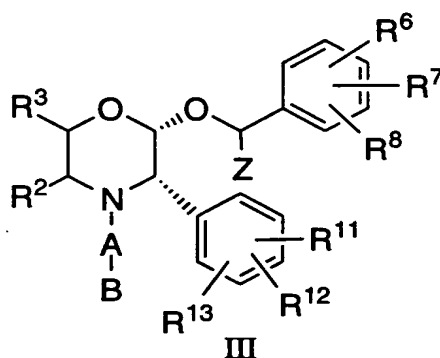
- 10 (a)  $-\text{PO}(\text{O}^-)_2 \cdot 2\text{M}^+$ , wherein  $\text{M}^+$  is a pharmaceutically acceptable monovalent counterion,
- (b)  $-\text{PO}(\text{O}^-)_2 \cdot \text{D}^{2+}$ , wherein  $\text{D}^{2+}$  is a pharmaceutically acceptable divalent counterion,
- (c)  $-\text{CH}(\text{CH}_3)-\text{O}-\text{CO}-\text{CH}_2\text{CH}_2-\text{NH}_3^+ \cdot \text{M}^-$ , and
- (d)  $-\text{CH}(\text{CH}_3)-\text{O}-\text{CO}-\text{CH}_2\text{CH}_2-\text{NH}_2^+-(\text{CH}_2\text{CH}_2-\text{OH}) \cdot \text{M}^-$ .

9. The compound of Claim 1 of the structural formula II:



5 or a pharmaceutically acceptable salt thereof, wherein R<sup>2</sup>, R<sup>3</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, A, B and Z are as defined in Claim 1.

10 10. The compound of Claim 1 of the structural formula III:



15 or a pharmaceutically acceptable salt thereof, wherein R<sup>2</sup>, R<sup>3</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>11</sup>, R<sup>12</sup>, R<sup>13</sup>, A, B, and Z are as defined in Claim 1.

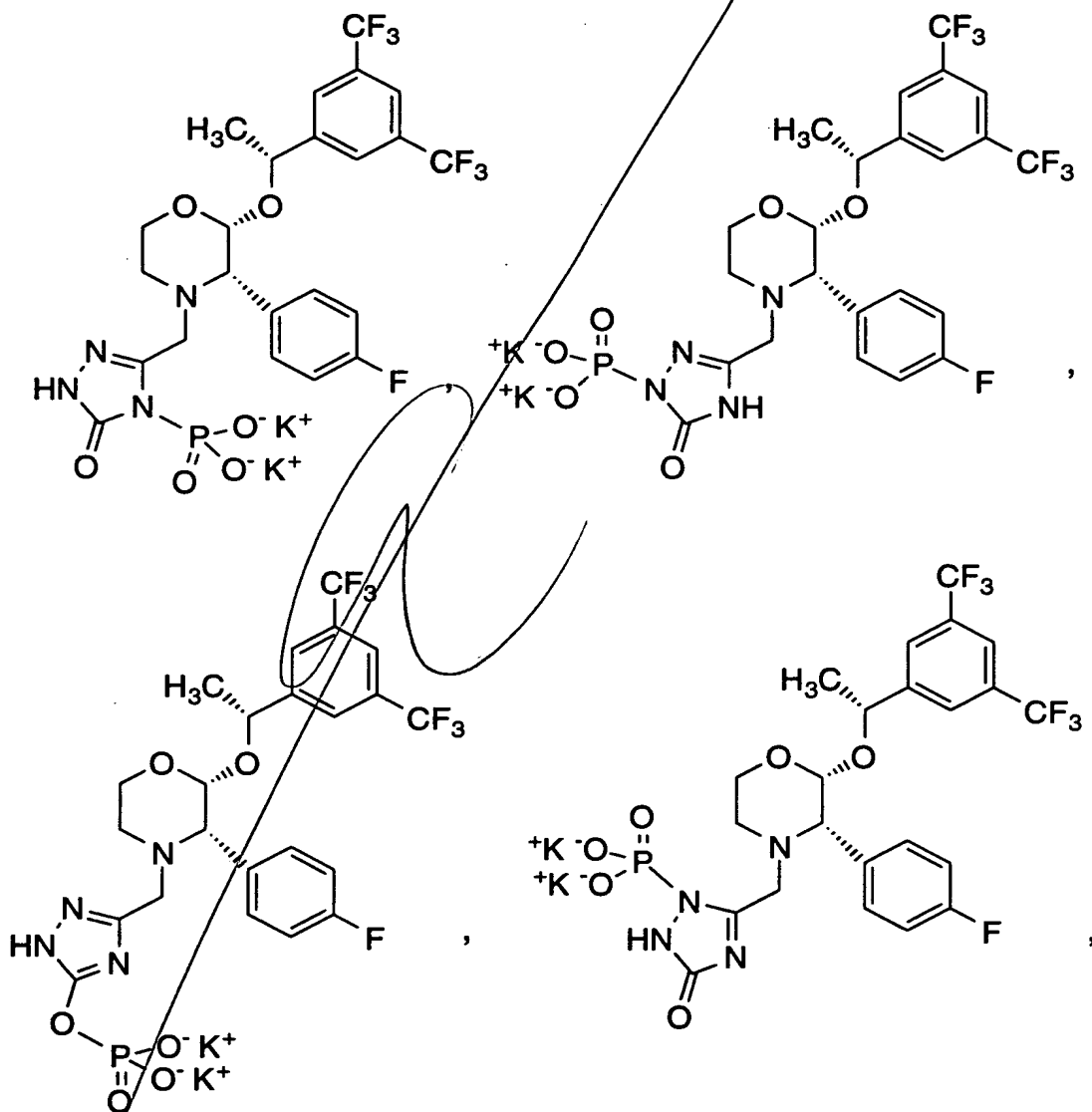
11. A compound which is selected from the group consisting of:

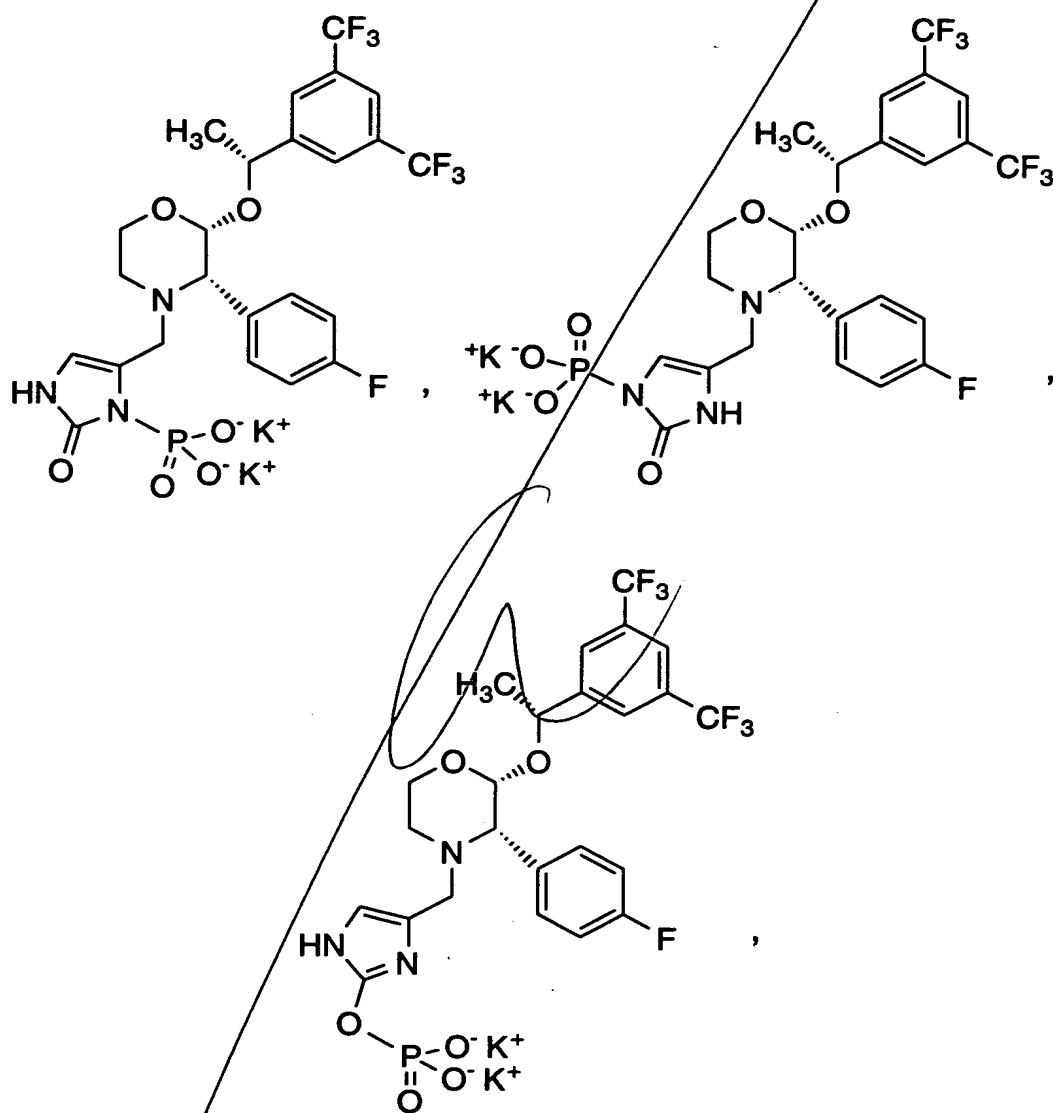
- 5 (1) 2-(S)-(3,5-bis(trifluoromethyl)benzyloxy)-3-(S)-phenyl-4-(3-(5-oxo-1H,4H-1,2,4-triazolo)methyl)morpholine N-oxide;
- (2) 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluoro)-phenyl-4-(3-(4-monophosphoryl-5-oxo-1H-1,2,4-triazolo)methyl)morpholine;
- 10 (3) 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluoro)-phenyl-4-(3-(1-monophosphoryl-5-oxo-1H-1,2,4-triazolo)methyl)morpholine;
- 15 (4) 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluoro)-phenyl-4-(3-(2-monophosphoryl-5-oxo-1H-1,2,4-triazolo)methyl)morpholine;
- 20 (5) 2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluoro)-phenyl-4-(3-(5-oxyphosphoryl-1H-1,2,4-triazolo)-methyl)morpholine;
- 25 (6) 2-(S)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-(4-fluoro)-phenyl-4-(3-(1-phosphoryl-5-oxo-4H-1,2,4-triazolo)methyl)morpholine;

or a pharmaceutically acceptable salt thereof.

- 30 12. The compound of Claim 11 wherein the pharmaceutically acceptable salt is the bis(N-methyl-D-glucamine) salt.

13. A compound which is selected from the group consisting of:





wherein K<sup>+</sup> is a pharmaceutically acceptable counterion.



14. A compound which is:

2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-  
3-(S)-(4-fluoro)phenyl-4-(3-(1-phosphoryl-5-oxo-  
4H-1,2,4-triazolo)methylmorpholine;

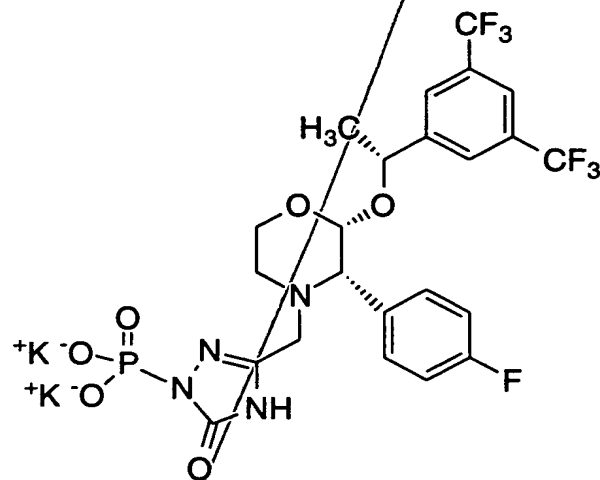
or a pharmaceutically acceptable salt thereof.

15. The compound of Claim 14 wherein the  
pharmaceutically acceptable salt is the bis(N-methyl-D-glucamine) salt.

16. A compound which is

2-(R)-(1-(R)-(3,5-bis(trifluoromethyl)phenyl)ethoxy)-3-(S)-  
(4-fluoro)phenyl-4-(3-(1-phosphoryl-5-oxo-4H-1,2,4-  
triazolo)methylmorpholine, bis(N-methyl-D-glucamine).

17. A compound which is:

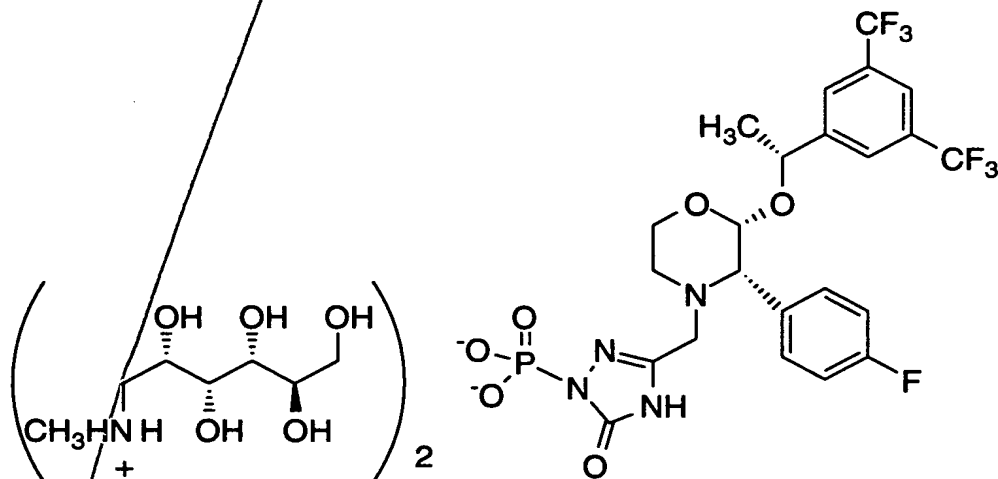


wherein  $K^+$  is a pharmaceutically acceptable counterion.

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18. The compound of Claim 17 wherein  $K^+$  is N-methyl-D-glucamine.

19. A compound which is:



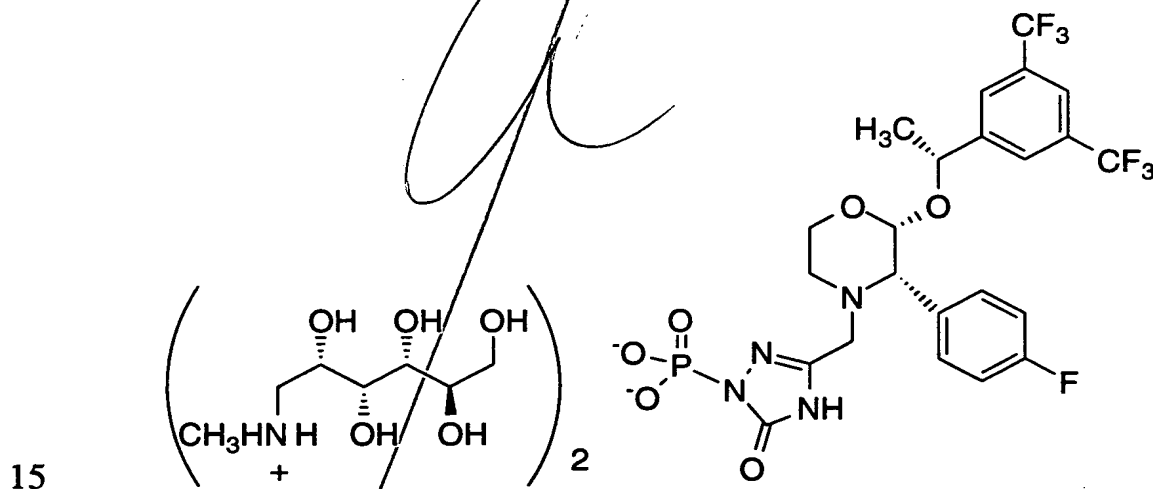
10

20. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of the compound of Claim 1.

5 21. The pharmaceutical composition of Claim 20 wherein the pharmaceutically acceptable carrier comprises water.

10 22. The pharmaceutical composition of Claim 20 wherein the pharmaceutically acceptable carrier comprises a physiologically acceptable saline solution.

23. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and an effective amount of a compound which is:



20 24. A method for antagonizing the effect of substance P at its receptor site or for the blockade of neurokinin-1 receptors in a mammal which comprises the administration to the mammal of the compound of Claim 1 in an amount that is effective for antagonizing the effect of substance P at its receptor site in the mammal.

25. A method of treating or preventing pain or nociception attributable to or associated with migraine in a mammal in need thereof which comprises the administration to the mammal of an effective amount of the compound of Claim 1.

5

26. A method of treating or preventing a condition selected from the group consisting of: diabetic neuropathy; peripheral neuropathy; AIDS related neuropathy; chemotherapy-induced neuropathy; and neuralgia, in a mammal in need thereof which comprises the administration to the mammal of an effective amount of the compound of Claim 1.

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27. A method for the treatment or prevention of asthma in a mammal in need thereof which comprises the administration to the mammal of an effective amount of the compound of Claim 1, either alone or in combination with a neurokinin-2 receptor antagonist or with a  $\beta_2$ -adrenergic receptor agonist.

15

28. A method for the treatment of cystic fibrosis in a mammal in need thereof which comprises the administration to the mammal of an effective amount of the compound of Claim 1.

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29. A method for the treatment or prevention of emesis in a mammal in need thereof which comprises the administration to the mammal of an effective amount of the compound of Claim 1.

25

30. A method for the treatment or prevention of arthritis in a mammal in need thereof which comprises the administration to the mammal of an effective amount of the compound of Claim 1.

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